We claim:

1. An assemblage comprising a substantially biologically inert proto-drug and a substantially biologically inert activation drug, whereby the proto-drug comprises a differentially selective moiety, a toxic moiety and a cap moiety and whereas the moieties of the proto-drug are linked together in such a manner as to make the proto-drug itself substantially inert.

2. A process for the preparation of a substantially biologically inert proto-drug whereby the process comprises:

(a) selection of a differentially concentrating moiety by a method chosen from the group consisting of differential HPLC, differential chromatography, and in vivo differential rate analysis;

(b) selection of a toxic moiety by a method chosen from the group consisting of in vitro testing, in vivo testing and evaluation of published lists of toxic moieties;

(c) selection of a cap moiety by a method chosen from the group consisting of in vitro testing, in vivo testing and evaluation of published lists of reagents with the toxic moiety; and

(d) linking the differentially concentrating moiety, the toxic moiety, and the cap moiety in such a manner as to make the proto-drug itself substantially biologically inert.

3. A process for the preparation of an assemblage, whereby the process comprises:

(a) selection of a differentially concentrating moiety by a method chosen from the group consisting of differential HPLC, differential chromatography, and in vivo differential rate analysis;

(b) selection of a toxic moiety by a method chosen from the group consisting of in vitro testing, in vivo testing and evaluation of published lists of toxic moieties;

(c) selection of a cap moiety by a method chosen from the group consisting of in vitro testing, in vivo testing and evaluation of published lists of reagents with the toxic moiety;

(d) selection of an activation drug by a method chosen from the group consisting of in vitro testing, in vivo testing and evaluation of published lists of reagents with the cap moiety; and

(e) linking the differentially concentrating moiety, the toxic moiety, and the cap moiety in such a manner as to make the proto-drug itself substantially biologically inert.

4. A method of treating neoplasms in a mammal, such method comprising:

(a) administering to a mammal in need of such treatment an effective amount of a proto-drug, such proto-drug comprising a differentially concentrating moiety, a toxic moiety and a cap moiety;

(b) waiting for a time delay period; and

(c) administering to the mammal an activating amount of an activation drug

whereby the activation drug converts the proto-drug in vivo to a pharmacologically active compound.

5. A method of converting a substantially biologically inert compound to a pharmacologically active agent, such method comprising:

(a) administering to a mammal a proto-drug, such proto-drug comprising a differentially concentrating moiety, a toxic moiety, and a cap moiety whereby the moieties are linked together in such a fashion as to create a biologically inert compound;

(b) waiting for a time delay period; and

(c) administering to the mammal an activation amount of an activation drug whereby the activation drug converts the proto-drug to a pharmacologically active agent.

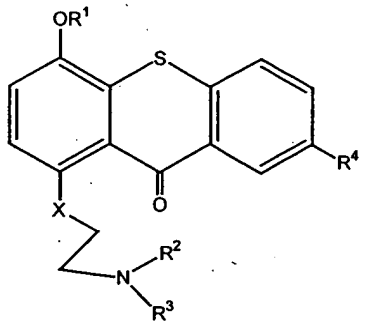
6. A method of selectively delivering a cytotoxic compound to tumor tissue, such method comprising administering to a mammal a proto-drug comprising a differentially concentrating moiety, a toxic moiety and a cap moiety, whereby the proto-drug delivers a cytotoxic compound to the tumor tissue in such a manner as to prevent significant damage to normal tissues by maintaining the cap moiety on the proto-drug until the proto-drug differentially concentrates in the tumor tissue during a time delay, and after such time delay the proto-drug produces a cytotoxic compound upon administration of an activation drug.

7. A pharmaceutical preparation comprising:

(a) an effective amount of a proto-drug together with a pharmaceutically acceptable excipient; and

(b) an activating amount of an activation drug together with a pharmaceutically acceptable excipient whereby the proto-drug and the activation drug are packaged for individual administration.

8. A compound of the Formula I:



Formula I

wherein:

R^1 is SiZ_3;

R^2 is methyl, chloroethyl, hydroxyethyl, or bromoethyl;

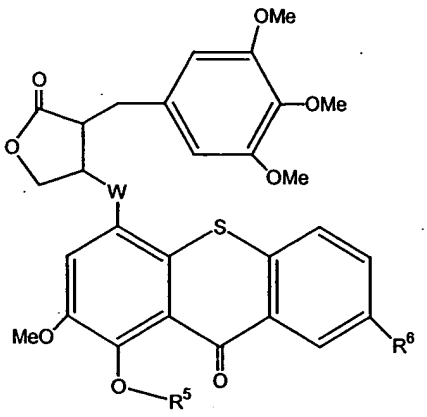
R^3 is chloroethyl, hydroxyethyl, or bromomethyl;

R^4 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

X is carbon, oxygen, or nitrogen.

9. A compound of the Formula II:



Formula II

wherein:

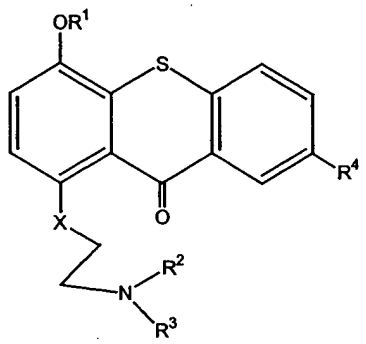
R^5 is SiZ_3;

R^6 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

W is carbon, oxygen, or nitrogen.

10. A compound of the Formula III:



Formula III

wherein:

R^1 is H;

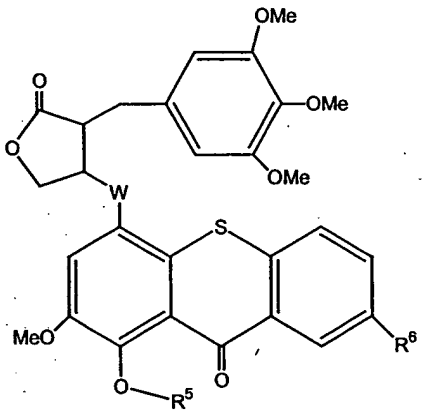
R^2 is methyl, chloroethyl, hydroxyethyl, or bromoethyl;

R^3 is chloroethyl, hydroxyethyl, or bromomethyl;

R^4 is H, SO_3H, or taurine; and

X is carbon, oxygen, or nitrogen.

11. A compound of the Formula IV



Formula IV

wherein:

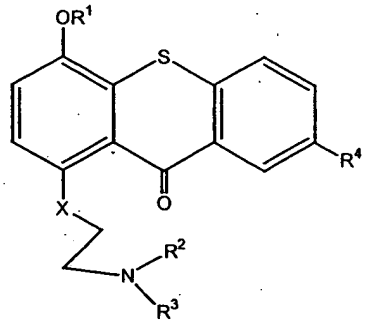
R^5 is H;

R^6 is H, SO_3H, or taurine; and

W is carbon, oxygen, or nitrogen.

12. A method of treating neoplasms in a mammal comprising:

(a) administering to a mammal in need of such treatment an effective amount of a compound of the Formula I:



Formula I

wherein:

R^1 is SiZ_3;

R^2 is methyl, chloroethyl, hydroxyethyl, or bromoethyl;

R^3 is chloroethyl, hydroxyethyl, or bromomethyl;

R^4 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

X is carbon, oxygen, or nitrogen;

(b) waiting for a time delay period; and

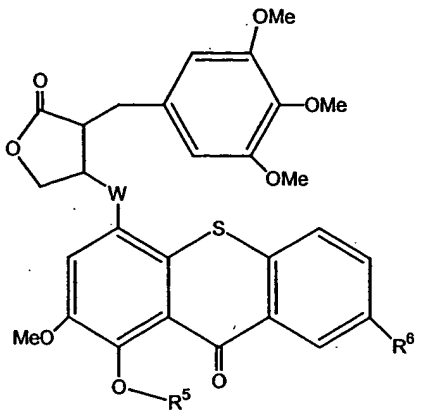
(c) administering to the mammal an activating amount of a fluoride salt.

13. The method of claim 12 whereby the time delay period is from about 1 to about 32 days.

14. The method of claim 12 whereby the fluoride salt is sodium fluoride.

15. A method of treating neoplasms in a mammal comprising:

(a) administering to a mammal in need of such treatment an effective amount of a compound of the Formula II



Formula II

wherein:

R^5 is SiZ_3;

R^6 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

W is carbon, oxygen, or nitrogen;

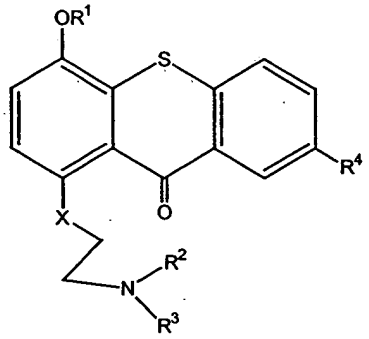
(b) waiting for a time delay period; and

(c) administering to the mammal an activating amount of a fluoride salt.

16. The method of claim 15 whereby the time delay period is from about 1 to about 32 days.

17. The method of claim 15 whereby the fluoride salt is sodium fluoride.

18. A method of treating neoplasms in a mammal comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of the Formula III



Formula III

wherein:

R^1 is H

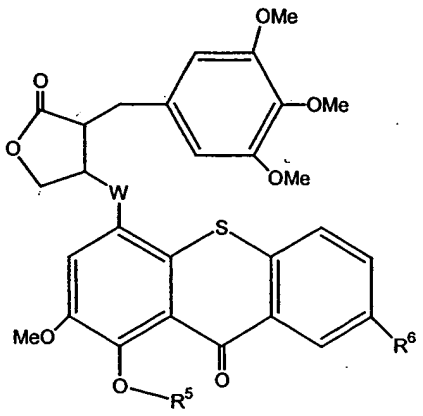
R^2 is methyl, chloroethyl, hydroxyethyl, or bromoethyl;

R^3 is chloroethyl, hydroxyethyl, or bromomethyl;

R^4 is H, SO_3H, or taurine; and

X is carbon, oxygen, or nitrogen.

19. A method of treating neoplasms in a mammal comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of the Formula IV



Formula IV

wherein:

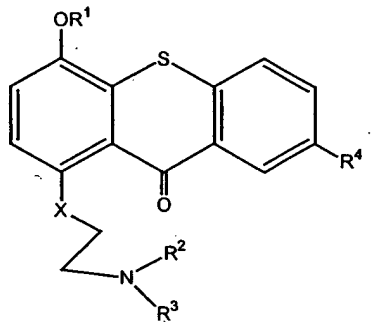
R^5 is H;

R^6 is H, SO_3H, or taurine; and

W is carbon, oxygen, or nitrogen.

20. A pharmaceutical preparation comprising:

(a) an effective amount of a compound of the Formula I



Formula I

wherein:

R^1 is SiZ_3;

R^2 is methyl, chloroethyl, hydroxyethyl, or bromoethyl;

R^3 is chloroethyl, hydroxyethyl, or bromomethyl;

R^4 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

X is carbon, oxygen, or nitrogen

together with a pharmaceutically acceptable excipient;

(b) an activating amount of a fluoride salt together with a pharmaceutically acceptable excipient;

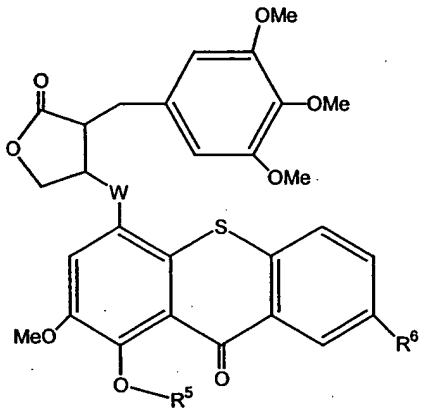
whereby the compound of the Formula I and the fluoride salt are packaged for individual administration.

21. The pharmaceutical preparation of claim 20 whereby the fluoride salt is sodium fluoride.

22. The pharmaceutical preparation of claim 20 whereby the compound of Formula I is 1-(N,N-bischloroethylaminoethoxy)-4-tert-butyldimethylsilyloxythioxanthone.

23. A pharmaceutical preparation comprising:

(a) an effective amount of a compound of the Formula II



Formula II

wherein:

R^5 is SiZ_3;

R^6 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

W is carbon, oxygen, or nitrogen

together with a pharmaceutically acceptable excipient;

(b) an activating amount of a fluoride salt together with a pharmaceutically acceptable excipient;

whereby the compound of the Formula II and the fluoride salt are packaged for individual administration.

24. The pharmaceutical preparation of claim 23 whereby the fluoride salt is sodium fluoride.

25. A method of determining a time delay period between administration of a proto-drug and an activation drug which comprises determining time T in the equation

$$R = E_A/E_B = (b_B/b_A)\ \exp[(b_B - b_A)T]$$

whereby:

R is the ratio of the diffusion constants of cell types A and B;

E_A is the exposure of cell type A to the proto-drug;

E_B is the exposure of cell type B to the proto-drug;

b_A is the elimination constant of cell type A; and

b_B is the elimination constant of cell type B.

26. The method of claim 25 whereby the time delay period is evaluated by in vivo procedures.

27. A proto-drug comprising:

(a) a thioxanthone moiety that acts as a differentially concentrating moiety;

(b) a mechlorethamine moiety that acts as a toxic moiety; and

(c) a silane moiety that acts as a cap moiety

whereby the thioxanthone, mechlorethamine and silane moieties are linked to form a substantially biologically inert compound.

28. A method for the preparation of 1-(N,N-bischloroethylaminoethoxy)-4-tert-butyldimethylsilyloxythioxanthone, such method comprising:

(a) combining thiosalicylic acid and hydroquinone in the presence of sulfuric acid to produce 1,4-dihydroxythioxanthone;

(b) combining the 1,4-dihydroxythioxanthone, potassium carbonate and 1-bromo-2-chloroethane in acetone under reflux to produce 1-chloroethoxy-4-hydroxythioxanthone;

(c) heating the 1-chloroethoxy-4-hydroxythioxanthone under nitrogen in the presence of diethanolamine, adding water and extracting with ethyl acetate to produce 1-(N,N-bisdiethanolaminoethoxy)-4-hydroxythioxanthone;

(d) heating the 1-(N,N-bisdiethanolaminoethoxy)-4-hydroxythioxanthone with thionyl chloride to reflux and then distilling off excess thionyl chloride to produce 1-(N,N-bischloroethylaminoethoxy)-4-hydroxythioxanthone; and

(e) stirring the 1-(N,N-bischloroethylaminoethoxy)-4-hydroxythioxanthone in dimethylformamide with tert-butyldimethylsilyl chloride, imidazole and a catalytic amount of dimethylaminopyridine at room temperature and then carrying out a water-ethyl acetate extraction to produce 1-(N,N-bischloroethylaminoethoxy)-4-tert-butyldimethylsilyloxythioxanthone.

29. A method for the preparation of 1-(N,N-bischloroethylaminoethoxy)-4-tert-butyldimethylsilyloxythioxanthone, such method comprising:

(a) combining thiosalicylic acid and hydroquinone in the presence of sulfuric acid to produce 1,4-dihydroxythioxanthone;

(b) combining the 1,4-dihydroxythioxanthone, potassium carbonate and 1-bromo-2-chloroethane in acetone under reflux to produce 1-chloroethoxy-4-hydroxythioxanthone;

(c) heating the 1-chloroethoxy-4-hydroxythioxanthone under nitrogen in the presence of diethanolamine, adding water and extracting with ethyl acetate to produce 1-(N,N-bisdiethanolaminoethoxy)-4-hydroxythioxanthone;

(d) combining the 1-(N,N-bisdiethanolaminoethoxy)-4-hydroxythioxanthone in pyridine with methanesylfonyl chloride under nitrogen to produce a mixture that is maintained under refrigeration, extracting the mixture with water-ethyl acetate to produce a solid, after which such solid is dissolved in dimethylformamide, heated and stirred under nitrogen with lithium chloride, adding water and extracting with ethyl acetate to produce 1-(N,N-bischloroethylaminoethoxy)-4-hydroxythioxanthone; and

(e) stirring the 1-(N,N-bischloroethylaminoethoxy)-4-hydroxythioxanthone in dimethylformamide with tert-butyldimethylsilyl chloride, imidazole and a catalytic amount of dimethylaminopyridine at room temperature and then carrying out a water-ethyl acetate extraction to produce 1-(N,N-

bischloroethylaminoethoxy)-4-tert-butyldimethylsilyloxythioxanthone.

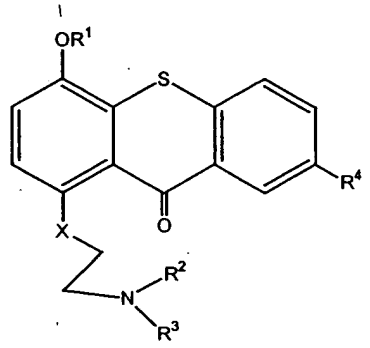
30. A process for the preparation of 1-(N-chloroethyl-N-methylaminoethoxy)-4-hydroxythioxanthone, such process comprising:

(a) combining 1,4-dihydroxythioxanthone in dimethylformamide with potassium carbonate at room temperature under anhydrous conditions and then adding mechlorethamine hydrochloride to produce a heterogenous mixture that is heated and stirred; and

(b) adding water to the heterogeneous mixture and extracting with ethyl acetate to produce 1-(N-chloroethyl-N-methylaminoethoxy)-4-hydroxythioxanthone.

31. The compound 1-(N,N-bischloroethylaminoethoxy)-4-tert-butyldimethylsilyloxythioxanthone.

32. A method of selectively delivering a cytotoxic compound to tumor tissue, such method comprising administering to a mammal a proto-drug of the Formula I



Formula I

wherein:

R^1 is SiZ_3;

R^2 is methyl, chloroethyl, hydroxyethyl, or bromoethyl;

R^3 is chloroethyl, hydroxyethyl, or bromomethyl;

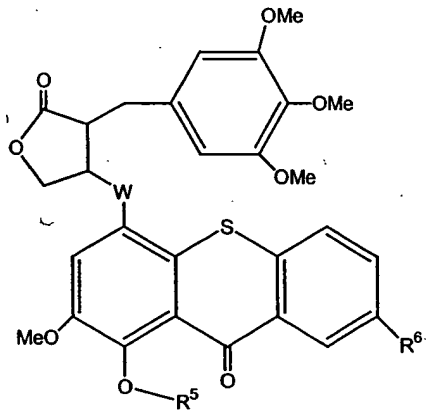
R^4 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

X is carbon, oxygen, or nitrogen

whereby the proto-drug delivers a cytotoxic compound to the tumor tissue in such a manner as to prevent significant damage to normal tissues by maintaining the cap moiety on the proto-drug until the proto-drug differentially concentrates in the tumor tissue during a time delay, and after such time delay the proto-drug is converted into the cytotoxic compound upon administration of an activation drug.

33. A method of selectively delivering a cytotoxic compound to tumor tissue, such method comprising administering to a mammal a proto-drug of the Formula II



Formula II

wherein:

R^5 is SiZ_3;

R^6 is H, SO_3H, or taurine;

each Z of Z_3 is independently methyl or t-butyl; and

W is carbon, oxygen, or nitrogen

whereby the proto-drug delivers a cytotoxic compound to the tumor tissue in such a manner as to prevent significant damage to normal tissues by maintaining the cap moiety on the proto-drug until the proto-drug differentially concentrates in the tumor tissue during a time delay, and after such time delay the proto-drug is converted into the cytotoxic compound upon administration of an activation drug.